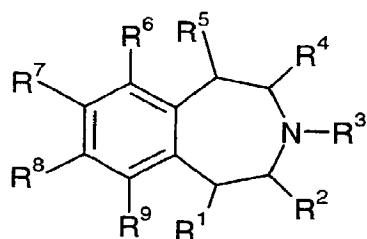


WE CLAIM:

1. A compound of Formula I:



I

5 where:

R¹ is hydrogen, fluoro, or (C₁-C₃)alkyl;

R², R³, and R⁴ are each independently hydrogen, methyl, or ethyl;

R⁵ is hydrogen, fluoro, methyl, or ethyl;

R⁶ is -C≡C-R¹⁰, -O-R¹², -S-R¹⁴, or -NR²⁴R²⁵,

10 R⁷ is hydrogen, halo, cyano, (C₁-C₆)alkyl optionally substituted with 1 to 6 fluoro substituents, (C₂-C₆)alkenyl optionally substituted with 1 to 6 fluoro substituents, (C₃-C₇)cycloalkyl, (C₁-C₆)alkoxy optionally substituted with 1 to 6 fluoro substituents, (C₁-C₆)alkylthio optionally substituted with 1 to 6 fluoro substituents, Ph¹-(C₀-C₃)alkyl, Ph¹-(C₀-C₃)alkyl-O-, or Ph¹-(C₀-C₃)alkyl-S-;

15 R⁸ is hydrogen, halo, cyano, or -SCF₃;

R⁹ is hydrogen, halo, cyano, -CF₃, -SCF₃, or (C₁-C₃)alkoxy optionally substituted with 1 to 6 fluoro substituents;

R¹⁰ is -CF₃, ethyl substituted with 1 to 5 fluoro substituents, (C₃-C₆) alkyl optionally substituted with 1 to 6 fluoro substituents, (C₃-C₇)cycloalkyl(C₀-C₃)alkyl,

20 Ar¹-(C₀-C₃)alkyl, Ph¹-(C₀-C₃)alkyl, or 3-(C₁-C₄)alkyl-2-oxo-imidazolidin-1-yl-(C₁-C₃)alkyl;

R¹² is Ph²-(C₁-C₃)alkyl, Ar²-(C₁-C₃)alkyl, (C₁-C₆)alkyl-S-(C₂-C₆)alkyl, (C₃-C₇)cycloalkyl-S-(C₂-C₆)alkyl, phenyl-S-(C₂-C₆)alkyl, Ph²-S-(C₂-C₆)alkyl, phenylcarbonyl-(C₁-C₃)alkyl, Ph²-C(O)-(C₁-C₃)alkyl,

25 (C₁-C₆)alkoxycarbonyl(C₃-C₆)alkyl, (C₃-C₇)cycloalkyl-OC(O)-(C₃-C₆)alkyl,

phenyloxycarbonyl-(C₃-C₆)alkyl, Ph²-OC(O)-(C₃-C₆)alkyl, Ar²-OC(O)-(C₃-C₆)alkyl, (C₃-C₇)cycloalkyl-NH-C(O)-(C₂-C₄)alkyl-, Ph¹-NH-C(O)-(C₂-C₄)alkyl-, Ar²-NH-C(O)-(C₂-C₄)alkyl-, or R¹³-C(O)NH-(C₂-C₄)alkyl;

5 R¹³ is (C₃-C₇)cycloalkyl(C₀-C₃)alkyl, Ph¹, Ar², or (C₁-C₃)alkoxy optionally substituted with 1 to 6 fluoro substituents, Ph¹-NH- or N-linked Het¹;

10 R¹⁴ is Ar² which is not N-linked to the sulfur atom, Ph², R¹⁵-L-, tetrahydrofuran, tetrahydropyran, or phenyl-methyl substituted on the methyl moiety with a substituent selected from the group consisting of (C₁-C₃)-n-alkyl substituted with hydroxy, (C₁-C₃)alkyl-O-(C₁-C₂)-n-alkyl, (C₁-C₃)alkyl-C(O)-(C₀-C₂)-n-alkyl, and (C₁-C₃)alkyl-O-C(O)-(C₀-C₂)-n-alkyl,

15 wherein when R¹⁴ is Ph² or Ar², wherein Ar² is pyridyl, then R¹⁴ may also, optionally be substituted with phenyl-CH=CH- or phenyl-C≡C-, said phenyl-CH=CH- or phenyl-C≡C- being optionally further substituted with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, and

20 wherein when Ar² is pyridyl, the pyridyl may alternatively, optionally be substituted with R²⁸R²⁹N-C(O)-, and optionally further substituted with one methyl, -CF₃, cyano, or -SCF₃ substituent, or with 1 to 2 halo substituents, and

25 wherein the tetrahydrofuran and tetrahydropyran may optionally be substituted with an oxo substituent, or with one or two groups independently selected from methyl and -CF₃;

30 R¹⁵ is -OR¹⁶, cyano, -SCF₃, Ph², Ar², quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, phthalimido, benzothiophenyl optionally substituted at the 2-position with phenyl or benzyl, benzothiazolyl optionally substituted at the 2-position with phenyl or benzyl, benzothiadiazolyl optionally substituted with phenyl or benzyl, 2-oxo-dihydroindol-1-yl optionally substituted at the 3 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, 2-oxo-dihydroindol-5-yl

optionally substituted at the 3 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, 2-oxo-imidazolidin-1-yl optionally substituted at the 3 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, 2-oxo-tetrahydropyrimidinyl optionally substituted at the 3 or 4 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, 2-oxo-tetrahydroquinolin-1-yl optionally substituted at the 3 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, 2-oxo- dihydrobenzimidazol-1-yl optionally substituted at the 3 position with gem dimethyl or (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, -NR¹⁷R¹⁸, -C(O)R²², or a saturated heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, and thiomorpholinyl, tetrahydrofuryl, and tetrahydropyranyl, wherein Ph² and Ar² when Ar² is pyridyl, may also optionally be substituted with phenyl-CH=CH- or phenyl-C≡C-, said phenyl-CH=CH- and phenyl-C≡C- being optionally further substituted on the phenyl moiety with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, and wherein Ar² may alternatively, optionally be substituted with a substituent selected from the group consisting of (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl, Het¹-(C₀-C₃)alkyl, pyridyl-(C₀-C₃)alkyl, and phenyl-(C₀-C₃)alkyl, and optionally further substituted with one methyl, -CF₃, cyano, or -SCF₃ substituent, or with 1 to 2 halo substituents, said pyridyl-(C₀-C₃)alkyl and phenyl-(C₀-C₃)alkyl optionally being further substituted with 1-3 substituents independently selected from halo, -CH₃, -OCH₃, -CF₃, -OCF₃, -CN, and -SCF₃, and wherein when Ar² is pyridyl, the pyridyl may alternatively, optionally be substituted with R²⁸R²⁹N-C(O)-, or (C₁-C₆)alkyl-C(O)- optionally substituted with 1 to 6 fluoro substituents, and may be optionally further

substituted with one methyl, -CF₃, cyano, or -SCF₃ substituent, or with 1 to 2 halo substituents, and

wherein when Ar² is thiazolyl, the thiazolyl may alternatively, optionally be substituted with (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl-NH-, and

5 wherein the pyrrolidinyl, piperidinyl, morpholinyl, and thiomorpholinyl is substituted with oxo- on a carbon atom adjacent to the ring nitrogen atom, or is N-substituted with a substituent selected from the group consisting of

(C₁-C₆)alkylcarbonyl, (C₁-C₆)alkylsulfonyl,

10 (C₃-C₇)cycloalkyl(C₀-C₃)alkyl-C(O)-,

(C₃-C₇)cycloalkyl(C₀-C₃)alkyl-S(O)₂-, Ph¹-(C₀-C₃)alkyl-C(O)-, and

Ph¹-(C₀-C₃)alkyl-S(O)₂-, and

may optionally be further substituted with 1 or 2 methyl or -CF₃ substituents, and when oxo-substituted, may optionally be further N-

15 substituted with a substituent selected from the group consisting of

(C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro

substituents, (C₃-C₇)cycloalkyl(C₀-C₃)alkyl, and Ph¹-(C₀-C₃)alkyl, and

wherein tetrahydrofuranyl and tetrahydropyranyl may optionally be

substituted with an oxo substituent, and/or with one or two groups

20 independently selected from methyl and -CF₃;

L is branched or unbranched (C₁-C₆)alkylene, except when R¹⁵ is -NR¹⁷R¹⁸ or

Ar²-N-linked to L, in which case L is branched or unbranched (C₂-C₆)alkylene, and when L is methylene or ethylene, L may optionally be substituted with gem-ethano or with 1 to 2 fluoro substituents, and when R¹⁵ is Ph², Ar², or a saturated heterocycle, L

25 may alternatively, optionally be substituted with a substituent selected from the group consisting of hydroxy, cyano, -SCF₃, (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, (C₁-C₆)alkoxycarbonyl optionally further substituted with 1 to 6 fluoro substituents, (C₁-C₆)alkylcarbonyloxy optionally further substituted with 1 to 6 fluoro substituents, (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl-O-,

30 (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl-O-C(O)-, and (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl-C(O)-O-;

R^{16} is hydrogen, (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents,

(C_1-C_6) alkylcarbonyl, (C_3-C_7) cycloalkyl(C_0-C_3)alkyl,

(C_3-C_7) cycloalkyl(C_0-C_3)alkyl-C(O)-, $Ph^1-(C_0-C_3)$ alkyl, $Ph^1-(C_0-C_3)$ alkyl-C(O)-,

$Ar^2-(C_0-C_3)$ alkyl, or $Ar^2-(C_0-C_3)$ alkyl-C(O)-,

5 R^{17} is (C_1-C_4) alkyl optionally substituted with 1 to 6 fluoro substituents, *t*-butylsulfonyl, (C_3-C_7) cycloalkyl(C_0-C_3)alkyl-C(O)-, (C_3-C_7) cycloalkyl(C_0-C_3)alkyl-sulfonyl, $Ph^1-(C_0-C_3)$ alkyl, $Ph^1-(C_0-C_3)$ alkyl-C(O)-, $Ph^1-(C_0-C_3)$ alkylsulfonyl, $Ar^2-(C_0-C_3)$ alkyl, $Ar^2-(C_0-C_3)$ alkyl-C(O)-, $Ar^2-(C_0-C_3)$ alkylsulfonyl, $R^{19}OC(O)-$, or $R^{20}R^{21}NC(O)-$;

10 R^{18} is hydrogen or (C_1-C_4) alkyl optionally substituted with 1 to 6 fluoro substituents, or R^{17} and R^{18} , taken together with the nitrogen atom to which they are attached form Het¹ where Het¹ is substituted with oxo- on a carbon atom adjacent to the ring nitrogen atom, or

15 R^{17} and R^{18} , taken together with the nitrogen atom to which they are attached, form an aromatic heterocycle selected from the group consisting of pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, and 1,2,4-triazolyl,

said aromatic heterocycle optionally being substituted with 1 to 2 halo

substituents, or substituted with 1 to 2 (C_1-C_4) alkyl substituents optionally further substituted with 1 to 3 fluoro substituents, or mono-substituted with fluoro, nitro, cyano, $-SCF_3$, or (C_1-C_4) alkoxy optionally further substituted with 1 to 3 fluoro substituents, and optionally further substituted with a (C_1-C_4) alkyl substituent optionally further substituted with 1 to 3 fluoro substituents;

20 R^{19} is (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents,

25 (C_3-C_7) cycloalkyl(C_0-C_3)alkyl, $Ar^2-(C_0-C_3)$ alkyl, or $Ph^1-(C_0-C_3)$ alkyl,

R^{20} is (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents,

(C_3-C_7) cycloalkyl(C_0-C_3)alkyl, $Ar^2-(C_0-C_3)$ alkyl, or $Ph^1-(C_0-C_3)$ alkyl,

30 R^{21} is hydrogen or (C_1-C_4) alkyl optionally substituted with 1 to 6 fluoro substituents, or R^{20} and R^{21} , taken together with the nitrogen atom to which they are attached, form Het¹;

R²² is (C₁-C₆)alkyl optionally substituted with 1 to 6 fluoro substituents,

(C₃-C₇)cycloalkyl(C₀-C₃)alkyl, R²³-O-, Ph¹-(C₀-C₃)alkyl, Ar²-(C₀-C₃)alkyl, or R³²R³³N-;

R²³ is (C₁-C₆)alkyl optionally substituted with 1 to 6 fluoro substituents,

5 (C₃-C₇)cycloalkyl(C₀-C₃)alkyl, Ph¹-(C₀-C₃)alkyl, or Ar²-(C₀-C₃)alkyl;

R²⁴ is (C₁-C₆)alkoxy(C₂-C₅)alkyl optionally substituted with 1 to 6 fluoro substituents,

(C₁-C₆)alkylthio(C₂-C₅)alkyl optionally substituted with 1 to 6 fluoro substituents,

(C₃-C₇)cycloalkyl(C₀-C₁)alkyl-O-(C₁-C₅)alkyl,

(C₃-C₇)cycloalkyl(C₀-C₁)alkyl-S-(C₁-C₅)alkyl, phenyl(C₁-C₃) n-alkyl,

10 Ph²-(C₁-C₃)-n-alkyl, Ar²(C₀-C₃) n-alkyl, phenyl(C₀-C₁)alkyl-O-(C₁-C₅)alkyl,

phenyl(C₀-C₁)alkyl-S-(C₁-C₅)alkyl, Ph¹-(C₀-C₁)alkyl-C(O)NH-(C₂-C₄)alkyl,

Ph¹-(C₀-C₁)alkyl-NH-C(O)NH-(C₂-C₄)alkyl,

pyridyl-(C₀-C₁)alkyl-C(O)NH-(C₂-C₄)alkyl,

pyridyl-(C₀-C₁)alkyl-NH-C(O)NH-(C₂-C₄)alkyl, or Ar³(C₁-C₂)alkyl,

15 where Ar³ is a bi-cyclic moiety selected from a group consisting of indanyl, indolyl,

dihydrobenzofuranyl, benzofuranyl, benzothiophenyl, benzoxazolyl,

benzothiazolyl, benzo[1,3]dioxolyl, naphthyl, dihydrobenzopyranyl, quinolinyl,

isoquinolinyl, and benzo[1,2,3]thiadiazolyl,

said Ar³ optionally being substituted with (C₁-C₆)alkyl optionally further

20 substituted with 1 to 6 fluoro substituents, phenyl(C₀-C₁)alkyl optionally

further substituted with 1 to 6 fluoro substituents, or substituted with

(C₃-C₇)cycloalkyl(C₀-C₃)alkyl, or substituted with 1-3 substituents

independently selected from the group consisting of halo, oxo, methyl, and

-CF₃,

25 said phenyl(C₁-C₃) n-alkyl, Ph²-(C₁-C₃) n-alkyl, or Ar²(C₀-C₃) n-alkyl

optionally being substituted on the n-alkyl moiety when present with

(C₁-C₃)alkyl, dimethyl, gem-ethano, 1 to 2 fluoro substituents, or (C₁-

C₆)alkyl-C(O)-,

said Ar²(C₀-C₃) n-alkyl being alternatively optionally substituted with a

30 substituent selected from the group consisting of (C₃-C₇)cycloalkyl-

(C₀-C₃)alkyl, Het¹-(C₀-C₃)alkyl, pyridyl-(C₀-C₃)alkyl, phenyl-

(C₀-C₃)alkyl, pyridyl-(C₀-C₃)alkyl-NH-, phenyl-(C₀-C₃)alkyl-NH-, (C₁-C₆)alkyl-S-, and (C₃-C₇)cycloalkyl-(C₀-C₃)alkyl-S-, and optionally further substituted with one methyl, -CF₃, cyano, or -SCF₃ substituent, or with 1 to 2 halo substituents,

5 said pyridyl-(C₀-C₃)alkyl and phenyl-(C₀-C₃)alkyl optionally being further substituted with 1-3 substituents independently selected from halo, -CH₃, -OCH₃, -CF₃, -OCF₃, -CN, and -SCF₃, and said Ph²-(C₁-C₃)*n*-alkyl and Ar²(C₀-C₃)*n*-alkyl where Ar² is pyridyl, also optionally being substituted on the phenyl or Ar² moiety, respectively, with phenyl-CH=CH- or phenyl-C≡C-,

10 said phenyl-CH=CH- or phenyl-C≡C- being optionally further substituted with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, and

15 said Ar²(C₀-C₃)*n*-alkyl where Ar² is pyridyl, alternatively, optionally being substituted with (C₁-C₆)alkyl-C(O)- or R²⁸R²⁹N-C(O)-, and optionally further substituted with one methyl, -CF₃, cyano, or -SCF₃ substituent, or with 1 to 2 halo substituents,

20 said phenyl(C₀-C₁)alkyl-O-(C₁-C₅)alkyl, or phenyl(C₀-C₁)alkyl-S-(C₁-C₅)alkyl optionally being substituted on the phenyl moiety with (C₁-C₂)-S(O)₂-, or with 1 to 5 independently selected halo substituents, or with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, and

25 said pyridyl-(C₀-C₁)alkyl-C(O)NH-(C₂-C₄)alkyl and pyridyl-(C₀-C₁)alkyl-NH-C(O)NH-(C₂-C₄)alkyl optionally being substituted on the pyridyl moiety with methyl, -CF₃, or 1 to 3 halo substituents;

R^{25} is hydrogen, (C_1-C_3) alkyl optionally substituted with 1 to 6 fluoro substituents, or allyl;

R^{26} is hydrogen, (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents, (C_3-C_7) cycloalkyl(C_0-C_3)alkyl;

5 R^{27} is hydrogen or (C_1-C_4) alkyl optionally substituted with 1 to 6 fluoro substituents, or R^{26} and R^{27} , taken together with the nitrogen atom to which they are attached, form Het¹;

R^{28} is (C_1-C_8) alkyl optionally substituted with 1 to 6 fluoro substituents, (C_3-C_8) cycloalkyl(C_0-C_3)alkyl, tetrahydropyran-3-yl(C_0-C_3)alkyl, 10 tetrahydropyran-4-yl(C_0-C_3)alkyl, tetrahydrofuryl(C_0-C_3)alkyl, $Ph^1-(C_0-C_2)$ *n*-alkyl, or $Ar^2-(C_0-C_2)$ *n*-alkyl, said $Ph^1-(C_0-C_2)$ *n*-alkyl and $Ar^2-(C_0-C_2)$ *n*-alkyl optionally being substituted on the alkyl moiety when present with (C_1-C_3) alkyl, dimethyl, or gem-ethano;

R^{29} is hydrogen or (C_1-C_3) alkyl;

15 R^{30} is hydrogen, (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents, (C_3-C_7) cycloalkyl(C_0-C_3)alkyl, $Ph^1-(C_0-C_3)$ alkyl, or $Ar^2-(C_0-C_3)$ alkyl,

R^{31} is hydrogen or (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents, or 20 R^{30} and R^{31} , taken together with the nitrogen atom to which they are attached, form Het¹,

said Het¹ also optionally being substituted with phenyl optionally further substituted with 1 to 3 halo substituents;

R^{32} and R^{33} are each independently hydrogen or (C_1-C_6) alkyl optionally substituted with 1 to 6 fluoro substituents, or R^{32} and R^{33} , taken together with the nitrogen atom to which they are attached, form Het¹, or R^{32} is $Ph^1(C_0-C_1)$ alkyl provided that R^{33} is 25 hydrogen;

Ar^1 is an aromatic heterocycle substituent selected from the group consisting of furanyl, thiophenyl, thiazolyl, oxazolyl, isoxazolyl, pyridyl, and pyridazinyl, any of which may optionally be substituted with 1 to 3 substituents independently selected from the group consisting of halo, (C_1-C_3) alkyl, (C_1-C_3) alkoxy, $-CF_3$, $-O-CF_3$, nitro, cyano, and 30 trifluoromethylthio;

Ar² is an aromatic heterocycle substituent selected from the group consisting of pyrrolyl, pyrazolyl, imidazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, furanyl, oxazolyl, isoxazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,3,4-oxadiazolyl, thiophenyl, thiazolyl, isothiazolyl, 1,2,3-thiadiazolyl, 1,3,4-thiadiazolyl, pyridyl, pyridazinyl, and

5 benzimidazolyl, any of which may optionally be substituted with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents, and wherein pyridyl and pyridazinyl may also optionally be substituted with (C₁-C₆)alkylamino optionally 10 further substituted with 1 to 6 fluoro substituents, (C₃-C₇)cycloalkyl(C₀-C₃)alkyl, or (C₃-C₇)cycloalkyl(C₀-C₃)alkyl-amino;

15 Het¹ is a saturated, nitrogen-containing heterocycle substituent selected from the group consisting of azetidinyl, pyrrolidinyl, piperidinyl, homopiperidinyl, morpholinyl, thiomorpholinyl, homomorpholinyl, and homothiomorpholinyl, any of which may optionally be substituted with (C₁-C₆)alkyl or with 2 methyl substituents;

Het² is a saturated, oxygen-containing heterocycle substituent selected from the group consisting of tetrahydrofuranyl and tetrahydropyranyl, any of which may optionally be substituted with (C₁-C₆)alkyl or with 2 methyl substituents; .

20 Ph¹ is phenyl optionally substituted with 1 to 5 independently selected halo substituents, or with 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents;

25 Ph² is phenyl substituted with:

- a) 1 to 5 independently selected halo substituents; or
- b) 1 to 3 substituents independently selected from the group consisting of halo, cyano, -SCF₃, nitro, hydroxy, (C₁-C₆)alkyl optionally further substituted with 1 to 6 fluoro substituents, and (C₁-C₆)alkoxy optionally further substituted with 1 to 6 fluoro substituents; or

c) 0, 1, or 2 substituents independently selected from the group consisting of halo, cyano, -SCF₃, methyl, -CF₃, methoxy, -OCF₃, nitro, and hydroxy, together with one substituent selected from the group consisting of

- i) (C₁-C₁₀)alkyl optionally further substituted with 1 to 6 fluoro substituents or mono-substituted with hydroxy, (C₁-C₆)alkoxy, (C₃-C₇)cycloalkyl(C₀-C₃)alkyloxy, Het²-(C₀-C₃)alkyloxy, Ph¹-(C₀-C₃)alkyloxy,
- 5 ii) (C₁-C₁₀)alkoxy-(C₀-C₃)alkyl optionally further substituted with 1 to 6 fluoro substituents, and optionally further substituted with hydroxy,
- 10 iii) (C₁-C₆)alkyl-C(O)-(C₀-C₅)alkyl optionally further substituted with 1 to 6 fluoro substituents,
- iv) carboxy,
- v) (C₁-C₆)alkoxycarbonyl optionally further substituted with 1 to 6 fluoro substituents,
- 15 vi) (C₁-C₆)alkyl-C(O)-(C₀-C₃)-O- optionally further substituted with 1 to 6 fluoro substituents,
- vii) (C₁-C₆)alkylthio-(C₀-C₅)alkyl optionally further substituted with 1 to 6 fluoro substituents,
- 20 viii) (C₁-C₆)alkylsulfinyl-(C₀-C₅)alkyl optionally further substituted with 1 to 6 fluoro substituents,
- ix) (C₁-C₆)alkylsulfonyl-(C₀-C₅)alkyl optionally further substituted with 1 to 6 fluoro substituents,
- x) (C₁-C₆)alkylsulfonyl-(C₀-C₃)alkyl-O- optionally further substituted with 1 to 6 fluoro substituents,
- 25 xi) (C₃-C₇)cycloalkyl(C₀-C₃)alkyl, optionally further substituted on the cycloalkyl with 1 to 4 substituents selected from methyl and fluoro,
- xii) (C₃-C₇)cycloalkyl(C₀-C₃)alkyl-O-, optionally further substituted on the cycloalkyl with 1 to 4 substituents selected from methyl and fluoro,
- 30 xiii) (C₃-C₇)cycloalkyl(C₀-C₃)alkyl-C(O)-,
- xiv) (C₃-C₇)cycloalkyl(C₀-C₃)alkyl-O-C(O)-,

- xv) $(C_3-C_7)cycloalkyl(C_0-C_3)alkyl-S-$,
- xvi) $(C_3-C_7)cycloalkyl(C_0-C_3)alkyl-S(O)-$,
- xvii) $(C_3-C_7)cycloalkyl(C_0-C_3)alkyl-S(O)_2-$,
- 5 xviii) $Ph^1-(C_0-C_3)alkyl$, optionally substituted on the alkyl moiety with 1 to 2 fluoro substituents,
- xix) $Ph^1-(C_0-C_3)alkyl-O-$, optionally substituted on the alkyl moiety with 1 to 2 fluoro substituents
- 10 xx) $Ph^1-(C_0-C_3)alkyl-C(O)-$,
- xxi) $Ph^1-(C_0-C_3)alkyl-O-C(O)-$,
- xxii) $Ph^1-(C_0-C_3)alkyl-C(O)-(C_0-C_3)alkyl-O-$,
- xxiii) $Ph^1-(C_0-C_3)alkylthio$,
- xxiv) $Ph^1-(C_0-C_3)alkylsulfinyl$,
- xxv) $Ph^1-(C_0-C_3)alkylsulfonyl$,
- xxvi) $Ar^2(C_0-C_3)alkyl$,
- 15 xxvii) $Ar^2(C_0-C_3)alkyl-O-$
- xxviii) $Ar^2-(C_0-C_3)alkyl-S-$,
- xxix) $Ar^2(C_0-C_3)alkyl-C(O)-$,
- xxx) $Ar^2(C_0-C_3)alkyl-C(S)-$,
- xxxi) $Ar^2-(C_0-C_3)alkylsulfinyl$,
- 20 xxxii) $Ar^2-(C_0-C_3)alkylsulfonyl$,
- xxxiii) $Het^1(C_0-C_3)alkyl-C(O)-$ optionally substituted on the Het^1 moiety with Ph^1 ,
- xxxiv) $Het^1(C_0-C_3)alkyl-C(S)-$ optionally substituted on the Het^1 moiety with Ph^1 ,
- 25 xxxv) N -linked $Het^1-C(O)-(C_0-C_3)alkyl-O-$,
- xxxvi) $Het^2-(C_0-C_3)alkyloxy$,
- xxxvii) $R^{26}R^{27}N-$,
- xxxviii) $R^{28}R^{29}-N-(C_1-C_3)alkoxy$,
- xxxix) $R^{28}R^{29}N-C(O)-$,
- 30 xl) $R^{28}R^{29}N-C(O)-(C_1-C_3)alkyl-O-$,
- xli) $R^{28}R^{29}N-C(S)-$,

- xlivi) $R^{30}R^{31}N-S(O)_2^-$,
- xlvi) $HON=C(CH_3)-$, and
- xlvii) $HON=C(Ph^1)-$,

or a pharmaceutically acceptable salt thereof, subject to the following provisos:

- 5 a) no more than two of R^1 , R^2 , R^3 , R^4 , and R^5 may be other than hydrogen;
- b) when R^2 is methyl, then R^1 , R^3 , R^4 , and R^5 are each hydrogen;
- c) when R^3 is methyl, then R^2 and R^4 are each hydrogen;
- d) when R^3 is methyl, R^7 and R^8 are each $-OH$, and R^1 , R^2 , R^4 , R^5 , and R^9 are each hydrogen, then R^6 is other than cyclohexylthio, furanylthio, or phenylthio; and
- 10 e) When R^{12} is $Ar^2-(C_1-C_3)alkyl$, then R^7 is other than hydrogen or R^9 is other than chloro.

2. A compound according to Claim 1 wherein R^7 is selected from halo, -CN, and CF_3 .

15 3. A compound according to either Claim 1 or Claim 2 wherein R^7 is chloro.

4. A compound according to any one of Claims 1 to 3 wherein R^6 is $-C\equiv C-$

20 R^{10} .

5. A compound according to any one of Claims 1 to 3 wherein R^6 is $-O-R^{12}$.

6. A compound according to any one of Claims 1 to 3 wherein R^6 is $-S-R^{14}$.

25 7. A compound according to Claim 6 wherein R^6 is $-S-L-R^{15}$.

8. A compound according to Claim 7 wherein R^{15} is Ph^2 or Ar^2 .

30 9. A compound according to any one of Claims 1 to 3 wherein R^6 is $-NR^{24}R^{25}$.

10. A compound according to Claim 9 wherein R²⁴ is Ph²-(C₁-C₃) n-alkyl-.

11. A compound according to Claim 9 wherein R²⁴ is Ar²-(C₁-C₃) n-alkyl-.

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12. A Compound according to any one of Claims 9 to 11 wherein R²⁵ is hydrogen.

10 13. A compound according to any one of Claims 1 to 12 wherein R⁹ is hydrogen, halo or (C₁-C₃)alkoxy.

14. A compound according to any one of Claims 1 to 12 wherein R⁹ is hydrogen.

15 15. A compound according to any one of Claims 1 to 14 wherein R¹, R², R³, R⁴, R⁵, and R⁸, are each hydrogen.

20 16. A pharmaceutical composition comprising a compound according to any one of Claims 1 to 15 as an active ingredient in association with a pharmaceutically acceptable carrier, diluent or excipient.

17. A compound according to any one of Claims 1 to 15 for use in therapy.

25 18. A method for the treatment of obesity in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound according to Claim 1.

19. The method of Claim 18, where the mammal is human.

20. A method for the treatment of obsessive compulsive disorder in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound according to Claim 1.

5 21. The method of Claim 20, where the mammal is human.

22. A method for the treatment of depression in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound according to Claim 1.

10 23. The method of Claim 22, where the mammal is human.

24. A method for the treatment of anxiety in mammals, comprising administering to a mammal in need of such treatment an effective amount of a compound
15 according to Claim 1.

25. The method of Claim 24, where the mammal is human.

26. A compound according to any one of Claims 1 to 15 for use as a
20 pharmaceutical.

27. A compound according to any one of Claims 1 to 15 for use in the treatment of obesity in mammals.

25 28. A compound according to any one of Claims 1 to 15 for use in the treatment of obsessive/compulsive disorder in mammals.

29. A compound according to any one of Claims 1 to 15 for use in the treatment of depression in mammals.

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30. A compound according to any one of Claims 1 to 15 for use in the treatment of anxiety in mammals.

31. A compound according to any one of Claims 27-30, where the mammal is
5 a human.

32. The use of a compound according to any one of Claims 1 to 15 in the manufacture of a medicament for the treatment of a disorder selected from obesity, hyperphagia, obsessive/compulsive disorder, depression, anxiety, substance abuse, sleep
10 disorder, hot flashes, and/or hypogonadism.

33. The use of a compound according to any one of Claims 1 to 15 in the manufacture of a medicament for the treatment of a disorder selected from obesity, obsessive/compulsive disorders, anxiety, or depression.

15 34. A pharmaceutical composition adapted for the treatment of obesity comprising a compound according to any one of Claims 1 to 15 in combination with one or more pharmaceutically acceptable excipients, carriers, or diluents therefore.

20 35. A pharmaceutical composition adapted for the treatment of obsessive/compulsive disorders comprising a compound according to any one of Claims 1 to 15 in combination with one or more pharmaceutically acceptable excipients, carriers, or diluents therefore.

25 36. A pharmaceutical composition adapted for the treatment of depression comprising a compound according to any one of Claims 1 to 15 in combination with one or more pharmaceutically acceptable excipients, carriers, or diluents therefore.

30 37. A pharmaceutical composition adapted for the treatment of anxiety comprising a compound according to any one of Claims 1 to 15 in combination with one or more pharmaceutically acceptable excipients, carriers, or diluents therefore.